

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
14 July 2005 (14.07.2005)

PCT

(10) International Publication Number  
**WO 2005/063774 A1**

(51) International Patent Classification<sup>7</sup>:  
A61P 35/00, A61K 31/69

C07F 5/02,

(72) Inventor; and

(75) Inventor/Applicant (for US only): KHAN, Saeed, R.  
[US/US]; 9702 Watts Road, Owing Mills, MD 21117 (US).

(21) International Application Number:

PCT/US2004/043114

(74) Agents: PETERSEN, Steven, C. et al.; Townsend &  
Townsend & Crew LLP, 12730 High Bluff Drive, Suite  
400, San Diego, CA 92130 (US).

(22) International Filing Date:

21 December 2004 (21.12.2004)

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

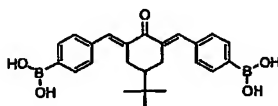
60/531,765 22 December 2003 (22.12.2003) US

(71) Applicant (for all designated States except US): JOHNS  
HOPKINS UNIVERSITY [US/US]; 3400 North Charles  
Street, Baltimore, MD 21218 (US).

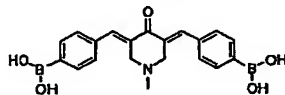
(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,

[Continued on next page]

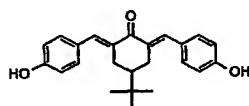
(54) Title: BORONIC ACID ARYL ANALOGS



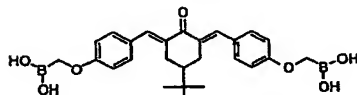
(1)



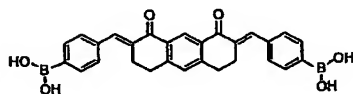
(2)



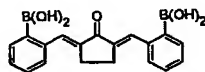
(3)



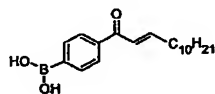
(4)



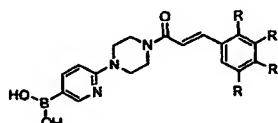
(5)



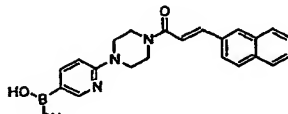
(6)



(7)



(8)



(9)

R= halogen, alkyl, hetroalkyl, alkoxy, heteroalkoxy, hydroxamic acid

(57) Abstract: The present invention relates to novel boronic acid aryl derivatives which are useful as antitumor/anticancer agents. The present compounds, which are inexpensive to synthesize, exhibit unexpectedly good inhibitors of the growth of human breast cancer cells. The present invention also relates to the use of the novel boronic acid aryl derivatives to treat cancer. The invention also provides pharmaceutical compositions comprising the inhibitors of the invention and methods of utilizing the inhibitors and pharmaceutical compositions in the treatment and prevention of cancer.



GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

**Published:**

— *with international search report*

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*